

## PATENT SPECIFICATION

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## COMPLETE SPECIFICATION

## Antiperspirant Composition for Topical Application

We, THE GILLETTE COMPANY, a Corporation organised under the laws of the State of Delaware, United States of America, of Gillette Park, Boston, State of Massachusetts, United States of America, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement:—

This invention relates to an anti-perspirant composition for topical application and pertains more specifically to such a composition containing a combination of an anti-microbial agent and one or more of certain acetylenic diols having the ability to reduce the flow of sensible perspiration.

It is well known to those conversant with the physiology of perspiration that there are involved two distinct glandular species; the eccrine and the apocrine sweat glands. The eccrine sweat gland is found in generalized distribution over the body surface but its secretion is thought to be of lesser importance in the study of perspiration problems and the maintenance of physical hygiene than is the apocrine sweat gland. This latter gland is found primarily in the axillae and on the hair covered regions of the body. Although the gland itself is similar to the eccrine sweat gland, it generally opens into the hair follicle at a point above the level of the sebaceous gland opening rather than directly on the skin surface as does the eccrine gland.

Apocrine sweat is a whitish, odorless liquid which contains considerable amounts of lipid materials as compared to eccrine sweat which contains over 99% water and very small amounts of sodium chloride. While the eccrine gland responds primarily to thermal stimuli, small amounts of apocrine sweat are continuously formed and stored in the apocrine glands, later to be expelled in response to emotional stimuli. While, as has

been noted above, the secretion of the apocrine duct is itself odorless, it is subject to surface bacteria of the skin which can act upon the lipid content of the sweat to form odorous compounds.

Up to the present time, the anti-perspirant compositions intended for topical application which have been most widely used include a variety of metal salts capable of inhibiting the flow of perspiration by what has been described as an astringent action. These salts are thought to react with skin proteins, causing coagulation and concomitant swelling, resulting in the partial blockage of the external openings of the sweat gland and the reduction in the flow of sweat. In addition, these salts act as anti-microbial agents, preventing bacteria of the surface of the skin from acting on the liquid content of apocrine sweat to form objectionably odorous products. Salts of many metals including zinc, lead, zirconium, aluminum, mercury and iron have the astringent and anti-microbial properties. However, because of skin irritation only a few have been used to any substantial extent. The most commonly used salts are those of aluminum, especially the sulfate, phenol sulfonate, chloride, sulfamate, and chlorohydrate complexes, but even these tend to produce some skin irritation, due in part to the low pH of the compositions having optimum astringent properties. This shortcoming is attested to by the large percentage of consumers unable to use astringent-containing anti-perspirant products for any extended period of time. A second problem involved in the use of these compounds is the fabric corrosivity of such compositions, due again in part to the low pH. When readily hydrolyzable salts are used in such a product, direct rotting of the contacted fabric, especially cellulosic fabrics, will occur. Even when the salts used are not sufficiently acid to promote immediate fabric damage, degrada-

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tion can occur upon extended contact or at the high temperatures produced in ironing. Although dry-cleaning solvents are capable of removing the oleaginous and waxy components of anti-perspirant products, the astringent salts often remain deposited in the fabric.

We have found that there exist four acetylenic diol compounds which possess the ability to retard perspiration when topically applied to the apocrine and eccrine glands of the human body, such application being non-productive of the undesirable side effects attributed to the use of astringent anti-perspirant agents. The effective compounds are 3,6-dimethyl-4-octyne-3,6-diol; 3,6-diethyl-4-octyne-3,6-diol; 2,4,7,9-tetramethyl-5-decyne-4,7-diol; 2,7-dimethyl-3,6-diisopropyl-4-octyne-3,6-diol; and mixtures of these in any proportion. These compounds may be prepared by the well known condensation reaction between ketones and acetylene to form tertiary acetylenic alcohols. Details of the synthesis may be found in Acetylene Chemistry by J. Walter Reppe, P. B. Report 18852-s, published by Charles A. Meyer Company, Incorporated.

Since the acetylenic diols appear to have little or no anti-microbial activity in their own right, it is necessary to use them in combination with one or more of the conventional and well-known anti-microbial agents known to be useful in inhibiting biochemical decomposition of human perspiration.

According to the present invention, therefore, we provide an anti-perspirant composition for topical application, comprising an antimicrobial agent, from 0.5 to 50% by weight of one or more of the following diols, 3,6-dimethyl-4-octyne-3,6-diol; 3,6-diethyl-4-octyne-3,6-diol; 2,7-dimethyl-3,6-diisopropyl-4-octyne-3,6-diol; and 2,4,7,9-tetramethyl-5-decyne-4,7-diol, and a dermatologically acceptable vehicle. The proportion of acetylenic diol is preferably from 1 to 20% by weight of the total composition.

Suitable antimicrobial agents for use in the compositions according to the invention are, for example, boric acid; quaternary ammonium salt germicides such as benzyl dimethyl alkyl ammonium chlorides in which the alkyl group contains from 8 to 18 carbon atoms, or cetyl trimethyl ammonium bromide; phenolic compounds such as phenol, resorcinol, 8-hydroxyquinoline sulfate, hexachlorophene, and bithionol; and antibiotics. In addition, as pointed out above, the conventional and well-known astringent metal salts are antimicrobial agents useful in the compositions of the present invention, of which the salts of aluminum, zinc, and zirconium are preferred. Among these are aluminum chloride, aluminum sulfate, aluminum hydroxy-chloride complexes, aluminum lactate, aluminum sulfamate, aluminum phenol sulfonate, zinc sul-

famate, zinc phenol sulfonate, zirconium lactate, zirconium citrate, zirconium tartrate, zirconium hydroxide, zirconium basic sulfate, and zirconium basic carbonate. The proportions of the anti-microbial agent present in the composition are not critical and may vary from 0.5% to 50% by weight of the total composition, depending upon the identity of the particular agent employed. In the case of the metal salts, from 2% to 25% by weight is preferred for best results, while in the case of hexachlorophene it is preferred to use from 0.5 to 10% by weight.

Those compositions which contain one or more of the specified acetylenic diols together with an anti-microbial agent which is not a metal salt possess the advantage that they are less likely to produce any noticeable skin irritation than those which do contain such salts; they also have a less deleterious effect upon fabrics with which they come in contact. Such compositions may be formulated and have been found to be effective within a pH range much higher than pH 3.5 to 4.5 which is common among commercially available astringent products. In addition, they may be used repeatedly with less likelihood of the itching and irritation suffered by some who use aluminum, zinc, zirconium and other such anti-perspirants.

Furthermore, as has been alluded to above, the acetylenic diol compounds may be used in formulating anti-perspirant compositions which, because of their higher pH levels, may be applied to freshly shaved areas with less likelihood of suffering from the burning sensation produced by some salt-containing compositions.

Although the acetylenic diols of this invention are only sparingly soluble in water, their solubility in organic solvents and their surface active properties render them easily removable from clothing by either the usual dry-cleaning processes or by laundering with household detergents. Prolonged contact with the wide variety of fabric types commonly used in clothing will not result in fabric damage or dye discoloration attributed to low pH composition.

Where individual sensitivity or fabric deterioration is not a major concern, it is possible to use with the acetylenic diols one or more of the conventional anti-microbial astringent metal salts.

Any conventional dermatologically acceptable vehicle, the ingredients of which are inert to the anti-microbial agent and to the diol, may be used in the composition according to the invention. The composition may be in the form of a solution, e.g., an aqueous alcoholic solution, or in the form of an ointment, cream lotion, dry powder, wax or gel in stick form, or in any other conventional form including a solution or dispersion packaged along with a liquified gaseous propellant

in a suitable pressure package for release in the form of an aerosol.

- 5 The following specific examples are intended to illustrate more fully the nature of the present invention but are not to be taken as a limitation upon the scope thereof.

#### EXAMPLE 1

- 10 A cream anti-perspirant composition was prepared by mixing together the ingredients of the following recipe in which the parts are by weight.

	Parts
3,6-Diethyl-4-octyne-3,6-diol - - -	5
Hexachlorophene - - - - -	0.5
15 Cetyl alcohol - - - - -	1.0
Glyceryl monostearate - - - - -	13.0
Spermaceti wax - - - - -	4.0
Glycerine - - - - -	3.0
20 Polyoxyalkylene propylene glycol monostearate - - - - -	0.5
Polyoxyalkylene stearate - - - - -	0.5
Ethanol - - - - -	10.0
Water - - - - -	62.5
25 Perfume - - - - -	q.s.

- 25 The foregoing composition when used daily was found to be effective in minimizing and deodorizing auxiliary perspiration. Repeated application had less tendency to cause irritation to the skin than did similar compositions containing conventional astringent anti-perspirants, similarly causing less irritation when applied to freshly shaved areas of the skin. Furthermore, the composition was found to have less deleterious effect upon clothing fabrics than conventional astringent products.

#### EXAMPLE 2

The following cream composition was prepared in which the parts are by weight:

	Parts
40 2,4,7,9-Tetramethyl-5-decyne-4,7-diol - - - - -	5.0
Cetyl trimethyl ammonium bromide - - - - -	2
Cetyl alcohol - - - - -	1.0
Glyceryl monostearate - - - - -	13.0
45 Spermaceti wax - - - - -	4.0
Glycerine - - - - -	3.0
Polyoxyalkylene propylene glycol monostearate - - - - -	0.5
Polyoxyalkylene stearate - - - - -	0.5
50 Ethanol - - - - -	10.0
Water - - - - -	61.0
Perfume - - - - -	q.s.

- 55 The composition gave results similar to those obtained with the composition of Example 1.

#### EXAMPLE 3

The following cream composition was prepared in which the parts are by weight:

	Parts
60 3,6-Diol-4-octyne-3,6-diol - - -	1.0
Cetyl alcohol - - - - -	1.0
Glyceryl monostearate - - - - -	13.0

Spermaceti wax - - - - -	4.0
Glycerine - - - - -	3.0
65 Polyoxyalkylene propylene glycol monostearate - - - - -	0.5
Polyoxyalkylene stearate - - - - -	0.5
Aluminum hydroxychloride - - - - -	20.0
Ethanol - - - - -	10.0
70 Water - - - - -	47.0
Perfume - - - - -	q.s.

The composition was found to be effective in minimizing and deodorizing axillary perspiration.

#### EXAMPLE 4

The following lotion composition was prepared in which the parts are by weight:

	Parts
3,6-Dimethyl-4-octyne-3,6-diol - - -	10.0
8-Hydroxyquinoline sulfate - - -	0.8
80 Ethanol - - - - -	5.0
Veegum - - - - -	3.5
Mineral oil - - - - -	6.0
Stearyl alcohol - - - - -	1.5
85 Polyoxyalkylene propylene glycol monostearate - - - - -	0.8
Polyoxyalkylene stearate - - - - -	0.8
Water - - - - -	61.6
90 Perfume - - - - -	q.s.

The composition when applied to the skin produced results similar to those obtained with the composition of Example 1.

#### EXAMPLE 5

The following liquid anti-perspirant composition was prepared in which the parts are by weight:

	Parts
2,7-Dimethyl-3,6-diisopropyl-4-octyne-3,6-diol - - - - -	10.0
Zirconium hydroxide - - - - -	10.0
100 Glycerine - - - - -	5.0
Ethanol - - - - -	32.0
Benzyl dimethyl alkyl ammonium chloride containing 8 to 18 carbon atoms in the alkyl group - - - - -	0.1
105 Water - - - - -	62.9
Perfume - - - - -	q.s.

When the composition was applied to the skin, the results obtained were similar to those obtained with the composition of Example 3.

#### EXAMPLE 6

An anti-perspirant (and deodorant) in stick form was prepared by mixing together the following ingredients at elevated temperature, then pouring the composition into a mold and allowing it to solidify. The quantity of each ingredient in parts by weight is given below:

	Parts
3,6-Diethyl-4-octyne-3,6-diol - - -	2.0
120 Sodium stearate - - - - -	8.5
Propylene glycol - - - - -	5.0
Hexachlorophene - - - - -	0.5
Ethyl alcohol - - - - -	84.0
Perfume - - - - -	q.s.

When rubbed on the skin, the stick provided the same results as were obtained with the composition of Example 1.

#### EXAMPLE 7

- 5 An anti-perspirant in powder form was provided by mixing together the following ingredients in the proportions indicated:

	Parts
2,4,7,9-Tetramethyl-5-decyne-	
10 4,7-diol - - - - -	20.0
Boric acid - - - - -	3.0
Zinc stearate - - - - -	5.0
Colloidal kaolin - - - - -	15.0
15 Talc - - - - -	57.0
Perfume - - - - -	q.s.

When dusted on the skin, the powder provided essentially the same results as were obtained with the other compositions of the preceding examples.

#### EXAMPLE 8

20 The following liquid composition was prepared in which the parts are by weight:

	Parts
3,6-Diethyl-4-octyne-3,6-diol - -	2.5
25 2,4,7,9-Tetramethyl-5-decyne-	
4,7-diol - - - - -	2.5
Aureomycin - - - - -	1.5
1,3-Butylene glycol - - - - -	5.0
Hexadecyl alcohol - - - - -	5.0
30 Ethanol - - - - -	28.5
Perfume - - - - -	q.s.

The composition was then packaged in a pressure container in the conventional manner along with a liquified gaseous propellant consisting of a mixture of 35 parts by weight of difluorodichloromethane and 20 parts by weight of tetrafluorodichloroethane.

- 35 When the liquid was sprayed upon the skin in the usual manner upon release from the pressurized package, it was found to be effective as an anti-perspirant in the same manner as the compositions of the preceding examples.

#### EXAMPLE 9

	Parts
45 2,4,7,9-Tetramethyl-5-decyne-	
4,7-diol - - - - -	1.0
Zirconium lactate - - - - -	25.0
Oleyl alcohol - - - - -	23.0
Sorbitol - - - - -	4.0
50 Cosmetic grade lanolin - - - - -	47.0
Perfume - - - - -	q.s.

When rubbed on the skin, this ointment

produced substantially the same results as the products of the other examples.

It will be appreciated from the foregoing that the present invention is effective when employed with a wide variety of dermatologically acceptable vehicles without loss of effectiveness and without loss of the unique characteristics which are present in the combination.

#### WHAT WE CLAIM IS:—

1. An anti-perspirant composition for topical application, comprising an antimicrobial agent, from 0.5 to 50% by weight of one or more of the following diols, 3,6-dimethyl-4-octyne-3,6-diol; 3,6-diethyl-4-octyne-3,6-diol; 2,7-dimethyl-3,6-diisopropyl-4-octyne-3,6-diol; and 2,4,7,9-tetramethyl-5-decyne-4,7-diol, and a dermatologically acceptable vehicle.
2. An anti-perspirant composition as claimed in claim 1, wherein the antimicrobial agent is present in a quantity of 0.5 to 50% by weight of the total composition.
3. An anti-perspirant composition as claimed in claim 1 or 2, in which the antimicrobial agent is an astringent metal salt and is present in an amount of 2% to 25% by weight of the total composition.
4. An anti-perspirant composition as claimed in claim 3, in which said salt is an aluminium salt.
5. An anti-perspirant composition as claimed in claim 3, in which said salt is a zinc salt.
6. An anti-perspirant composition as claimed in claim 3, in which said salt is a zirconium salt.
7. An anti-perspirant composition as claimed in claim 1 or 2, in which the antimicrobial agent is hexachlorophene and is present in an amount of 0.5 to 10% by weight of the total composition.
8. An Anti-perspirant composition as claimed in any one of the preceding claims, wherein said diol amounts to 1 to 20% by weight of the total composition.
9. An anti-perspirant composition for topical application substantially as hereinbefore described with reference to any one of the Examples.

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